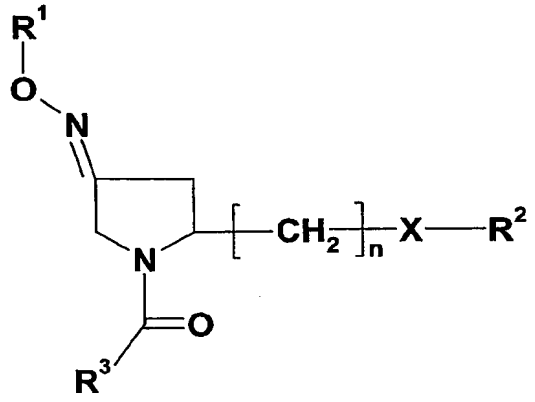
Claims

1. A pyrrolidine derivative of Formula I:



(I)

its geometrical isomers, its optically active forms as enantiomers, diastereomers, mixtures of these and its racemate forms, as well as salts thereof, wherein:

$R^1$ is selected from the group comprising or consisting of H and $C_1$-$C_6$-alkyl;

$R^2$ is selected from the group comprising or consisting of hydrogen, $C_1$-$C_6$-alkyl, $C_1$-$C_6$-alkyl aryl, heteroaryl, $C_1$-$C_6$-alkyl heteroaryl, $C_2$-$C_6$-alkenyl, $C_2$-$C_6$-alkenyl aryl, $C_2$-$C_6$-alkenyl heteroaryl, $C_2$-$C_6$-alkynyl, $C_2$-$C_6$-alkynyl aryl, $C_2$-$C_6$-alkynyl heteroaryl, $C_3$-$C_8$-cycloalkyl, heterocycloalkyl, $C_1$-$C_6$-alkyl cycloalkyl, $C_1$-$C_6$-alkyl heterocycloalkyl, $C_1$-$C_6$-alkyl carboxy, acyl, $C_1$-$C_6$-alkyl acyl, $C_1$-$C_6$-alkyl acyloxy, $C_1$-$C_6$-alkyl alkoxy, alkoxycarbonyl, $C_1$-$C_6$-alkyl alkoxycarbonyl, aminocarbonyl, $C_1$-$C_6$-alkyl aminocarbonyl, $C_1$-$C_6$-alkyl acylamino, $C_1$-$C_6$-alkyl ureido, amino, $C_1$-$C_6$-alkyl amino, sulfonyloxy, $C_1$-$C_6$-alkyl sulfonyloxy, sulfonyl, $C_1$-$C_6$-alkyl sulfonyl, sulfinyl, $C_1$-$C_6$-alkyl sulfinyl, $C_1$-$C_6$-alkyl sulfanyl, $C_1$-$C_6$-alkyl sulfonylamino;

$R^3$ is selected from the group comprising or consisting of aryl and heteroaryl;

X is selected from the group consisting of O or $NR^4$;

$R^4$ is selected from the group comprising or consisting of H, $C_1$-$C_6$-alkyl, $C_1$-$C_6$-alkyl aryl, $C_1$-$C_6$-alkyl heteroaryl, aryl, heteroaryl; or

$R^2$ and $R^4$ can form together with the N atom to which they are linked to, a 5-8 membered saturated or unsaturated heterocycloalkyl ring; and

n is an integer from 1 to 3.

2. A pyrrolidine derivative according to claim 1, wherein $R^1$ is methyl.

3. A pyrrolidine derivative according to claim 1 or 2, wherein $R^3$ is a phenyl.

4. A pyrrolidine derivative according to any of the preceding claims, wherein n is an integer 1 or 2.

5. A pyrrolidine derivative according to any of the preceding claims wherein $R^2$ and $R^4$ form together with the N atom to which they are linked, a 5 or 6 membered cycloalkyl or heterocycloalkyl ring;

6. A pyrrolidine derivative according to claims 1 to 4 wherein X is O or NH.

7. A pyrrolidine derivative according to any of the preceding claims selected from the following group:
(3EZ,5S)-5-(hydroxymethyl)-1-[(2'-methyl-1,1'-biphenyl-4-yl)carbonyl]pyrrolidin-3-one O-methyloxime;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-(hydroxymethyl)pyrrolidin-3-one O-methyloxime;
(3E,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-(hydroxymethyl)pyrrolidin-3-one O-methyloxime;
(3Z,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-[(4-methylpiperazin-1-yl)methyl]pyrro-lidin-3-one O-methyloxime;
tert-butyl {[(2S,4EZ)-1-(1,1'-biphenyl-4-ylcarbonyl)-4-(methoxyimino)pyrrolidin-2-yl]methoxy}acetate;
{[(2S,4EZ)-1-(1,1'-biphenyl-4-ylcarbonyl)-4-(methoxyimino)pyrrolidin-2-yl]-methoxy}acetic acid;
2-{[(2S,4EZ)-1-(1,1'-biphenyl-4-ylcarbonyl)-4-(methoxyimino)pyrrolidin-2-yl]-methoxy}-N-(2-pyrrolidin-1-ylethyl)acetamide;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-(methoxymethyl)pyrrolidin-3-one O-methyloxime;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-[(4-methylpiperazin-1-yl)methyl]-pyrrolidin-3-one O-methyloxime;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-{[(4-methoxyphenyl)amino]methyl}-pyrrolidin-3-one O-methyloxime;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-({[2-(1H-pyrazol-1-yl)ethyl]amino}-methyl)-pyrrolidin-3-one O-methyloxime;

2-{[(2S,4EZ)-1-(1,1'-biphenyl-4-ylcarbonyl)-4-(methoxyimino)pyrrolidin-2-yl]-methyl}-1H-isoindole-1,3(2H)-dione;
(3EZ,5S)-5-(aminomethyl)-1-(1,1'-biphenyl-4-ylcarbonyl)pyrrolidin-3-one O-methyl-oxime;
N-{[(2S,4EZ)-1-(1,1'-biphenyl-4-ylcarbonyl)-4-(methoxyimino)pyrrolidin-2-yl]methyl}acetamide;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-(piperidin-1-ylmethyl)pyrrolidin-3-one O-methyloxime;
(3EZ,5S)-1-(1,1'-biphenyl-4-ylcarbonyl)-5-(2-hydroxyethyl)pyrrolidin-3-one O-methyloxime.

8. A pyrrolidine according to any of the preceding claims for use as a medicament.

9. Use of a pyrrolidine derivative according to any of claims 1 to 7 as well as isomers, optically active forms as enantiomers, diastereomers and mixtures of these, as well as salts thereof for the preparation of a medicament for the prevention and/or treatment of preterm labor, premature birth or dysmenorrhea.

10. Use of a pyrrolidine according to claim 1 to 7, for the preparation of a medicament for the treatment of disorders requiring the modulation of the oxytocin receptor.

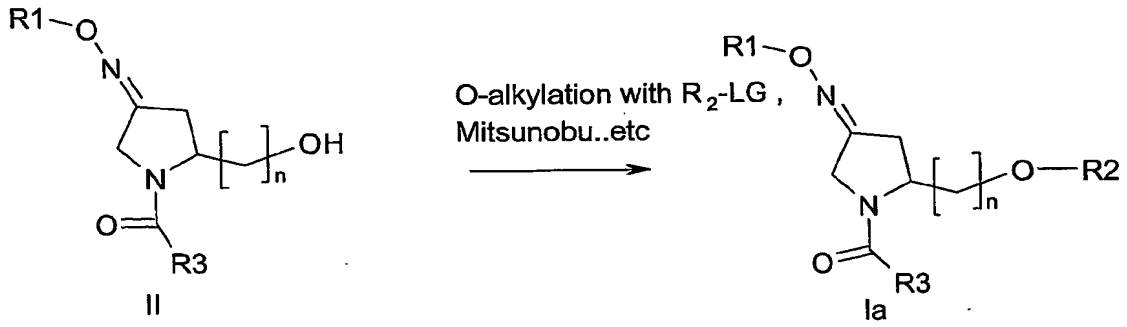
11. Use according to claim 10, for the treatment or prevention of disorders associated with the oxytocin receptor activity.

12. Use according to claim 10 or 11, wherein said modulation consists in the blocking of the oxytocin receptor or in antagonising the binding of oxytocin to its receptor.

13. A pharmaceutical composition containing a pyrrolidine derivative according to any of claims 1 to 7 and a pharmaceutically acceptable carrier, diluent or excipient thereof.

14. A process for the preparation of a pyrrolidine derivative according to any of claims 1 to 7, wherein X is O, comprising the step of an O-alkylation of alcohol derivatives

of formula (II) with an alkylating agent $R^2$-LG wherein LG is a leaving group, with $R^1$, $R^2$, $R^3$ and n being as defined above.




15. A process for the preparation of a pyrrolidine derivative according to any of claims 1 to 7 wherein X is $NR^4$, comprising the step of a reductively aminating an aldehyde derivative of formula (XI) with an amine $HNR^2R^4$ wherein $R^1$, $R^2$, $R^3$, $R^4$ and n are defined above.